10/075,909 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	3725	((514/256) or (514/227.8) or (514/235.8) or (514/252.14)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/08 15:57
L2	3760	((544/60) or (544/122) or (544/295) or (544/315) or (544/330)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/08 15:58
L3	6434	L1 or L2	US-PGPUB; USPAT	OR	OFF	2004/12/08 15:58
L4	533	L3 and (dicarboxylic or dicarboxylate or dicarboxyl)	US-PGPUB; USPAT	OR	OFF	2004/12/08 16:01
L5	247	L3 and (benzylamide or benzodioxol or benzooxadiazol or benzothiadiazol)	US-PGPUB; USPAT	OR	OFF	2004/12/08 16:02
L6	33	L5 and (dicarboxylic or dicarboxylate)	US-PGPUB; USPAT	OR	OFF	2004/12/08 16:02

10/075,909

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  SEP 01  INPADOC: New family current-awareness alert (SDI) available
 NEWS  4  SEP 01  New pricing for the Save Answers for SciFinder Wizard within
                  STN Express with Discover!
 NEWS  5  SEP 01  New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
 NEWS  6  SEP 27  STANDARDS will no longer be available on STN
 NEWS  7  SEP 27  SWETSCAN will no longer be available on STN
 NEWS  8  OCT 28  KOREAPAT now available on STN
 NEWS  9  NOV 18  Current-awareness alerts, saved answer sets, and current
                  search transcripts to be affected by CERAB, COMPUAB, ELCOM,
                  and SOLIDSTATE reloads
 NEWS 10  NOV 30  PHAR reloaded with additional data
 NEWS 11  DEC 01  LISA now available on STN

 NEWS EXPRESS  OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:36:41 ON 08 DEC 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:36:48 ON 08 DEC 2004

10/075,909

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 DEC 2004 HIGHEST RN 794053-19-3
DICTIONARY FILE UPDATES: 7 DEC 2004 HIGHEST RN 794053-19-3

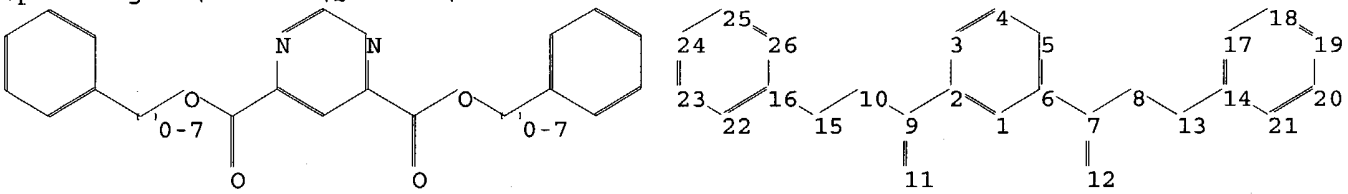
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10075909.str

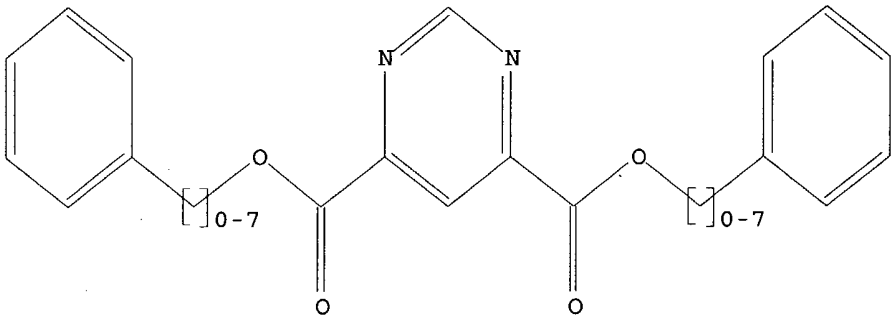


chain nodes :
7 8 9 10 11 12 13 15
ring nodes :
1 2 3 4 5 6 14 16 17 18 19 20 21 22 23 24 25 26
chain bonds :
2-9 6-7 7-8 7-12 8-13 9-10 9-11 10-15 13-14 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-17 14-21 16-22 16-26 17-18 18-19 19-20 20-21 22-23 23-24 24-25 25-26
exact/norm bonds :
7-8 7-12 8-13 9-10 9-11 10-15
exact bonds :
2-9 6-7 13-14 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-17 14-21 16-22 16-26 17-18 18-19 19-20 20-21 22-23 23-24 24-25 25-26
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 16:37:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L2 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

FILE 'CAPLUS' ENTERED AT 16:37:18 ON 08 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Dec 2004 VOL 141 ISS 24
FILE LAST UPDATED: 7 Dec 2004 (20041207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 1 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637659 CAPLUS

10/075,909

DOCUMENT NUMBER: 137:185500
TITLE: Preparation and formulation of pyrimidine-4,6-dicarboxamides as MMP-13 inhibitors
INVENTOR(S): Barvian, Nicole Chantel; Patt, William Chester
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

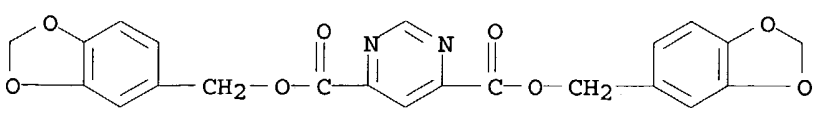
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064571	A1	20020822	WO 2002-IB190	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433772	AA	20020822	CA 2002-2433772	20020118
EP 1368323	A1	20031210	EP 2002-740096	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007209	A	20040127	BR 2002-7209	20020118
JP 2004518723	T2	20040624	JP 2002-564504	20020118
US 2002151555	A1	20021017	US 2002-75909	20020213
PRIORITY APPLN. INFO.:			US 2001-268779P P	20010214
			WO 2002-IB190 W	20020118

OTHER SOURCE(S): MARPAT 137:185500

AB Z[C(:X)R]2 [each R independently = OR4 or NR4R5; R4,R5 = H, alkyl, (hetero)aryl, etc.; NR4R5 = heterocyclyl; X = O or S; Z = 2-(un)substituted pyrimidine-4,6-diyl] were prepared as MMP-13 inhibitors (no data). Thus, pyrimidine-4,6-dicarboxylic acid was amidated by PhCH2NH2 to give pyrimidine-4,6-dicarboxylic acid bis(benzylamide).

IT **448949-32-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of pyrimidine-4,6-dicarboxamides as MMP-13 inhibitors)

RN 448949-32-4 CAPLUS
CN 4,6-Pyrimidinedicarboxylic acid, bis(1,3-benzodioxol-5-ylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

10/075,909

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS	4	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	5	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS	6	SEP 27	STANDARDS will no longer be available on STN
NEWS	7	SEP 27	SWETSCAN will no longer be available on STN
NEWS	8	OCT 28	KOREAPAT now available on STN
NEWS	9	NOV 18	Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads
NEWS	10	NOV 30	PHAR reloaded with additional data
NEWS	11	DEC 01	LISA now available on STN

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:23:57 ON 08 DEC 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:24:06 ON 08 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

10/075,909

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 DEC 2004 HIGHEST RN 794053-19-3
DICTIONARY FILE UPDATES: 7 DEC 2004 HIGHEST RN 794053-19-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

```
=> s "pyrimidin-4,6-dicarboxylic" or "pyrimidin-4,6-carboxamide"
        541097 "PYRIMIDIN"
        272860 "4,6"
        279436 "DICARBOXYLIC"
             0 "PYRIMIDIN-4,6-DICARBOXYLIC"
               ("PYRIMIDIN"(W)"4,6"(W)"DICARBOXYLIC")
        541097 "PYRIMIDIN"
        272860 "4,6"
        791200 "CARBOXAMIDE"
             0 "PYRIMIDIN-4,6-CARBOXAMIDE"
               ("PYRIMIDIN"(W)"4,6"(W)"CARBOXAMIDE")
L1           0 "PYRIMIDIN-4,6-DICARBOXYLIC" OR "PYRIMIDIN-4,6-CARBOXAMIDE"

=> s pyrimidin? and (dicarboxylic or dicarboxamide)
        917943 PYRIMIDIN?
        279436 DICARBOXYLIC
         36549 DICARBOXAMIDE
L2        4786 PYRIMIDIN? AND (DICARBOXYLIC OR DICARBOXAMIDE)

=> s l2 and (benzylamide or benzothiadiazol or benzodioxol or benzooxadiazol)
           464 BENZYLAMIDE
          3384 BENZOTHIADIAZOL
        109748 BENZODIOXOL
             1 BENZOOXADIAZOL
L3          53 L2 AND (BENZYLAMIDE OR BENZOTHIADIAZOL OR BENZODIOXOL OR BENZOOX
               ADIAZOL)

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              59.27      59.48

FILE 'CAPLUS' ENTERED AT 16:26:35 ON 08 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 8 Dec 2004 VOL 141 ISS 24
FILE LAST UPDATED: 7 Dec 2004 (20041207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 10 L3

=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:412923 CAPLUS
DOCUMENT NUMBER: 140:423689
TITLE: Preparation of novel pyrimidine-4,6-dicarboxamides for the selective inhibition of collagenases
INVENTOR(S): Klingler, Otmar; Kirsch, Reinhard; Habermann, Joerg; Weithmann, Klaus-Ulrich; Engel, Christian; Pirard, Bernard
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

late

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041788	A1	20040521	WO 2003-EP11515	20031018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10251019	A1	20040519	DE 2002-10251019	20021102
DE 10254092	A1	20040603	DE 2002-10254092	20021120
PRIORITY APPLN. INFO.:			DE 2002-10251019 A	20021102
			DE 2002-10254092 A	20021120

OTHER SOURCE(S): MARPAT 140:423689
GI

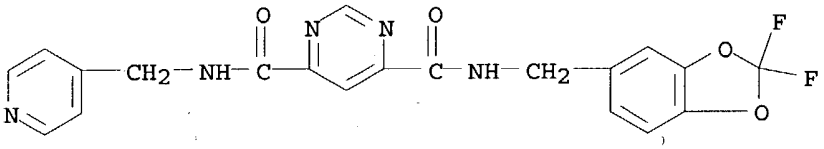
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pyrimidine-4,6-dicarboxamides I [R1 = H, C1-6-alkyl; R2 = (un)substituted C1-6-alkyl; R3, R4, R5, R6, R7 = H, halogen, (un)substituted C1-6-alkyl; C1-6-haloalkyl, O-(C1-6-alkyl), S-(C1-6-alkyl); R4R5, R5R6 (together to with the carbons to which they are attached) = 5- or 6-membered carbocyclic, aromatic, heterocyclic or heteroaryl ring (hetero compound containing one or more O, S or N)] are suitable for the selective inhibition of

collagenase (MMP 13). Pyrimidine-4,6-dicarboxamides I can be prepared from pyrimidine-4,6-dicarboxylic acid derivs. II (Y = halogen, OH, C1-6-alkoxy; or anhydride) via reaction with R1R2NH or benzylamine III to give the monoamides IV or V, which in turn undergo reaction with benzylamine III or R1R2NH, resp. Thus, VI was prepared from di-Me pyrimidine-4,6-dicarboxylate via partial amidation with 3-MeOC6H4CH2NH2 in THF, saponification with LiOH in THF, amidation with 4-(NH2CH2)C6H4CO2Me·HCl in DMF containing TOTU and NEt3, saponification with LiOH in THF and amidation with Et2NH in DMF containing TOTU and NEt3. The pyrimidine-4,6-dicarboxamides can thus be used for the treatment of degenerative joint diseases. The bioactivity of VI was determined [IC50 = 4 nM vs. MMP 13].

IT **691002-05-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrimidine-4,6-dicarboxamides for the selective inhibition of collagenases)

RN 691002-05-8 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-[(2,2-difluoro-1,3-benzodioxol-5-yl)methyl]-N'-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:467290 CAPLUS
DOCUMENT NUMBER: 139:53028
TITLE: Preparation of 2,4-pyridinedicarboxamides and 4,6-pyrimidinedicarboxamides as inhibitors of collagenase (MMP 13)
INVENTOR(S): Habermann, Joerg; Weithmann, Klaus-Ulrich; Kogler, Herbert; Kirsch, Reinhard; Wehner, Volkmar
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

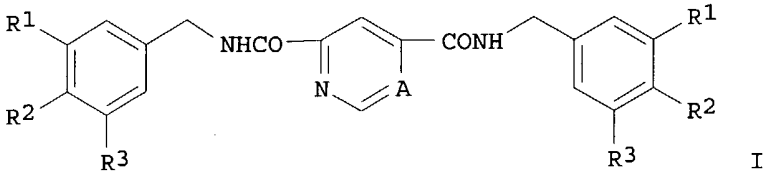
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10160357	A1	20030618	DE 2001-10160357	20011208
WO 2003049738	A1	20030619	WO 2002-EP13240	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1455790	A1	20040915	EP 2002-792799	20021125

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2003229103 A1 20031211 US 2002-65994 20021209
PRIORITY APPLN. INFO.: DE 2001-10160357 A 20011208
US 2002-358887P P 20020222
WO 2002-EP13240 W 20021125

OTHER SOURCE(S): MARPAT 139:53028

GI



I

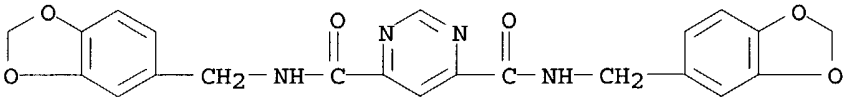
late

AB Title compds. [I; A = CH, N; R1-R3 = H, halo, (halogenated) alkyl, alkoxy, OH, CO2R4, cyano, NR5R6, etc.; R4 = H, alkyl; R5, R6 = H, alkyl, alkylcarbonyl, etc.; or R1R2, R2R3 = 5-6 membered (aromatic) (saturated) (hetero)cyclyl], were prepd for the treatment of degenerative joint diseases. Thus, 4,6-pyrimidinedicarboxylic acid in SOCl2 was stirred for 2 h at 85° followed by addition of CH2Cl2 at room temperature and Et3N at 0°. The reaction mixture was further stirred with 3-chloro-4-fluorobenzylamine for 15 min to give 40% N,N-bis(3-chloro-4-fluorobenzyl)pyrimidine-4,6-dicarboxamide. The latter inhibited collagenase 3 (MMP 13) with IC50 = 23 nM.

IT **448949-34-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine- and pyrimidinedicarboxamides as inhibitors of collagenase (MMP 13))

RN 448949-34-6 CAPLUS

CN 4,6-Pyrimidinedicarboxamide, N,N'-bis(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:322783 CAPLUS
DOCUMENT NUMBER: 139:323478

TITLE: Reactions of Hydrazonoyl Halides 351: Synthesis of Some New 1,2,4-Triazolino[4,3-a]pyrimidines, 2,3-Dihydro-1,3,4-thiadiazoles and 2,3-Dihydro-1,3,4-selenadiazoles

AUTHOR(S): Rateb, Nora M.; Abdel-Riheem, Nadia A.; Al-Atoom, Ali A.; Abdelhamid, Abdou O.
CORPORATE SOURCE: Cairo University, Giza, Egypt

SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2003), 178(5), 1101-1114
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

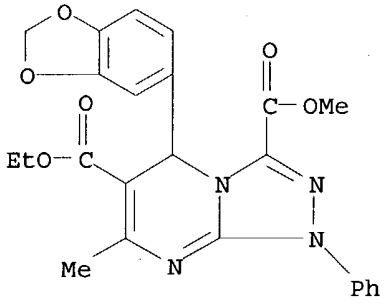
OTHER SOURCE(S): CASREACT 139:323478

AB Hydrazonoyl halides have been caused to react with each of Et 4-(2H-benzo[3,4-d]1,3-dioxolen-5-yl)-6-methyl-2-methylthio-3,4-dihydropyrimidin-5-carboxylate, potassium thiocyanate (or thiourea), potassium selenocyanate, and alkyl carbodithioate in the presence of triethylamine to give 4,3-dihydro-1,2,4-triazolino[4,3-a]pyrimidine, 1,3,4-thiadiazoline, 1,2,4-selenadiazoline, and unsym. azine derivs. in good yields. Structures of the new compds. were elucidated on the basis of elemental analyses, spectral data, and alternative methods of synthesis whenever possible.

IT **615268-51-4P 615268-52-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of triazolinopyrimidines, dihydrothiadiazoles and dihydroselenadiazoles via reaction of hydrazonoyl halides and corresponding pyrimidine carboxylate, selenocyanate, and alkyl carbodithioate)

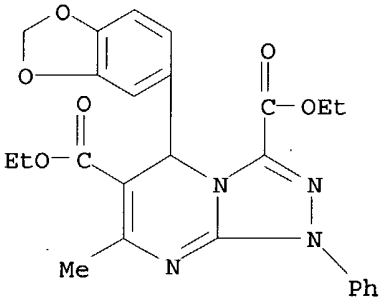
RN 615268-51-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrimidine-3,6-dicarboxylic acid, 5-(1,3-benzodioxol-5-yl)-1,5-dihydro-7-methyl-1-phenyl-, 6-ethyl 3-methyl ester (9CI) (CA INDEX NAME)



RN 615268-52-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrimidine-3,6-dicarboxylic acid, 5-(1,3-benzodioxol-5-yl)-1,5-dihydro-7-methyl-1-phenyl-, diethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637659 CAPLUS

DOCUMENT NUMBER: 137:185500

TITLE: Preparation and formulation of pyrimidine-4,6-dicarboxamides as MMP-13 inhibitors

INVENTOR(S): Barvian, Nicole Chantel; Patt, William Chester

10/075,909

PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064571	A1	20020822	WO 2002-IB190	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433772	AA	20020822	CA 2002-2433772	20020118
EP 1368323	A1	20031210	EP 2002-740096	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007209	A	20040127	BR 2002-7209	20020118
JP 2004518723	T2	20040624	JP 2002-564504	20020118
US 2002151555	A1	20021017	US 2002-75909	20020213
PRIORITY APPLN. INFO.:			US 2001-268779P P	20010214
			WO 2002-IB190 W	20020118

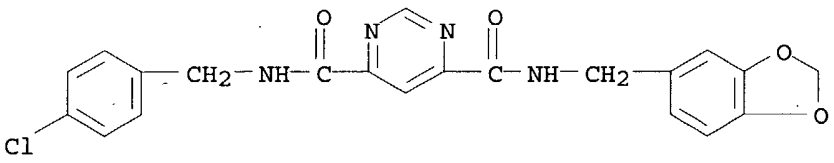
OTHER SOURCE(S): MARPAT 137:185500

preprint version

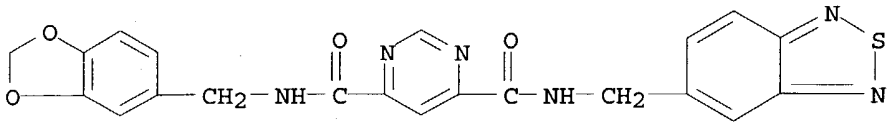
AB Z[C(:X)R]2 [each R independently = OR4 or NR4R5; R4,R5 = H, alkyl, (hetero)aryl, etc.; NR4R5 = heterocyclyl; X = O or S; Z = 2-(un)substituted pyrimidine-4,6-diyl] were prepared as MMP-13 inhibitors (no data). Thus, pyrimidine-4,6-dicarboxylic acid was amidated by PhCH2NH2 to give pyrimidine-4,6-dicarboxylic acid bis(benzylamide).

IT **448949-19-7P 448949-26-6P 448949-28-8P**
448949-30-2P 448949-31-3P 448949-32-4P
448949-34-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of pyrimidine-4,6-dicarboxamides as MMP-13 inhibitors)

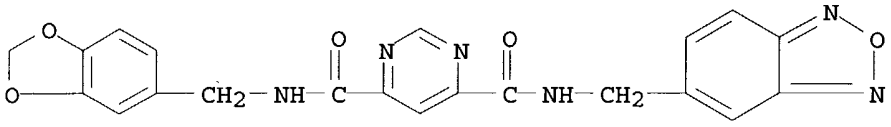
RN 448949-19-7 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-N'-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



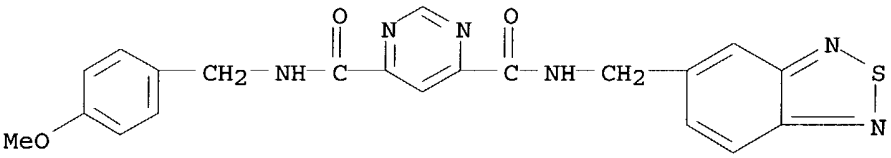
RN 448949-26-6 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-N'-(2,1,3-benzothiadiazol-5-ylmethyl)- (9CI) (CA INDEX NAME)



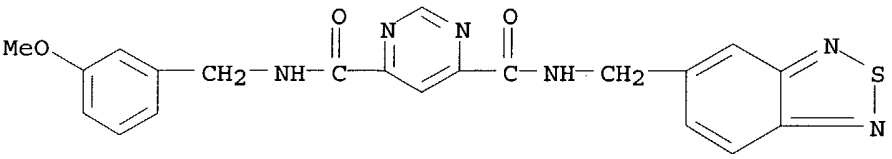
RN 448949-28-8 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-N'-(2,1,3-benzoxadiazol-5-ylmethyl)- (9CI) (CA INDEX NAME)



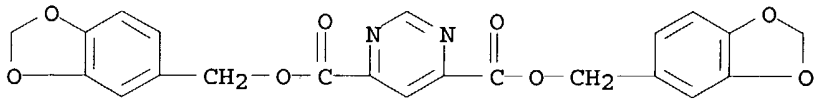
RN 448949-30-2 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-(2,1,3-benzothiadiazol-5-ylmethyl)-N'-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



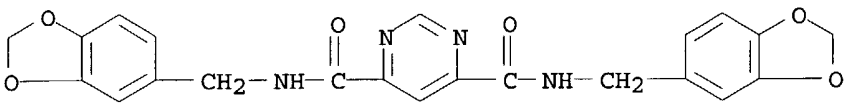
RN 448949-31-3 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-(2,1,3-benzothiadiazol-5-ylmethyl)-N'-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 448949-32-4 CAPLUS
CN 4,6-Pyrimidinedicarboxylic acid, bis(1,3-benzodioxol-5-ylmethyl) ester (9CI) (CA INDEX NAME)



RN 448949-34-6 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N,N'-bis(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

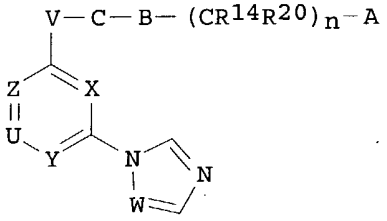
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:610405 CAPLUS
DOCUMENT NUMBER: 137:169534
TITLE: Preparation of imidazolyl pyrimidinamines as NOS inhibitors
INVENTOR(S): Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Michael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.
SOURCE: U.S., 132 pp., Cont.-in-part of U.S. Ser. No. 25,124, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432947	B1	20020813	US 1999-383813	19990826
CN 1100777	B	20030205	CN 1998-804281	19980219
CA 2376355	AA	20010301	CA 2000-2376355	20000824
WO 2001014371	A1	20010301	WO 2000-US23173	20000824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014144	A	20020521	BR 2000-14144	20000824
EP 1206467	A1	20020522	EP 2000-959333	20000824
EP 1206467	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
SI 20818	C	20020831	SI 2000-20040	20000824
EE 200200091	A	20030415	EE 2002-91	20000824
NZ 517411	A	20030926	NZ 2000-517411	20000824
AT 256681	E	20040115	AT 2000-959333	20000824
AU 769405	B2	20040129	AU 2000-70671	20000824
ES 2213599	T3	20040901	ES 2000-959333	20000824
ZA 2002001485	A	20030521	ZA 2002-1485	20020221
NO 2002000925	A	20020416	NO 2002-925	20020226
BG 106440	A	20021129	BG 2002-106440	20020226
LT 4982	B	20030127	LT 2002-28	20020315
US 2002165203	A1	20021107	US 2002-121886	20020412
US 2002183323	A1	20021205	US 2002-121659	20020412
US 2003004137	A1	20030102	US 2002-121379	20020412

US 6747031	B2	20040608			
US 2003027794	A1	20030206	US 2002-121758		20020412
US 2003060452	A1	20030327	US 2002-121212		20020412
US 2003069210	A1	20030410	US 2002-122072		20020412
US 2003073669	A1	20030417	US 2002-121682		20020412
US 2003078265	A1	20030424	US 2002-121808		20020412
US 6670473	B2	20031230			
US 2003083332	A1	20030501	US 2002-122047		20020412
US 2003092678	A1	20030515	US 2002-122006		20020412
PRIORITY APPLN. INFO.:			US 1997-808975	B2	19970219
			US 1998-25124	B2	19980217
			WO 1998-US3176	A	19980219
			US 1999-383813	A	19990826
			WO 2000-US23173	W	20000824

OTHER SOURCE(S): MARPAT 137:169534

GI



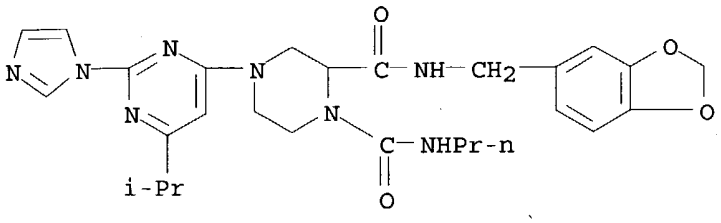
I

AB The title compds. [I; U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or NR1R2 = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); C = (CHR12)q(CHR13)r (q, r = 0-1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3], useful as inhibitors of nitric oxide synthase, were prepared Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepared by reaction of 1-(3-aminophenyl)imidazole, Et 7-chloro-3-oxoheptanoate, and piperonylamine. All exemplified compds. I showed iNOS inhibitory activity at concns. less than 25 μM.

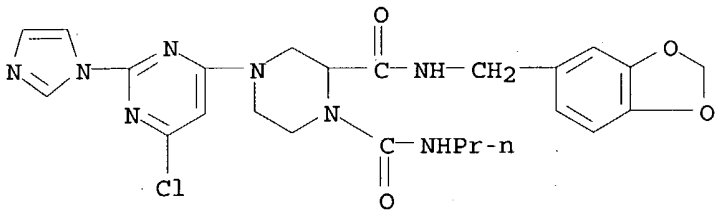
IT **212639-01-5P 212639-02-6P 212639-04-8P**
212639-06-0P 212639-15-1P 212639-33-3P
212639-35-5P 212639-49-1P 212645-12-0P
212646-48-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolyl pyrimidinamines as NOS inhibitors)

RN 212639-01-5 CAPLUS

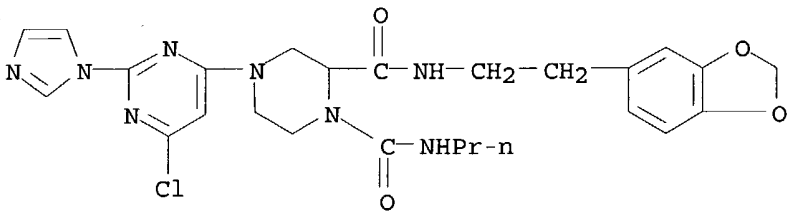
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-(1-methylethyl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



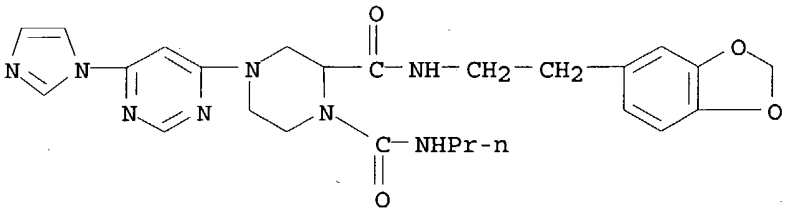
RN 212639-02-6 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



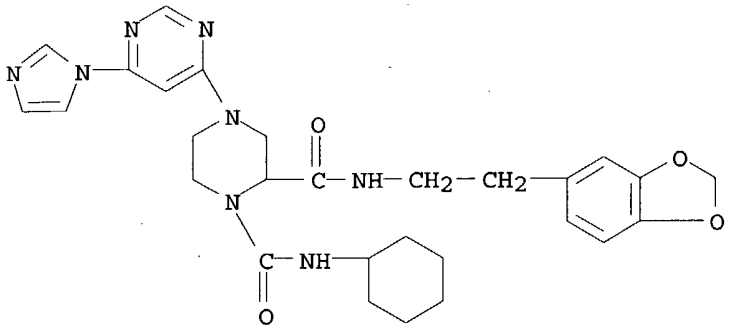
RN 212639-04-8 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



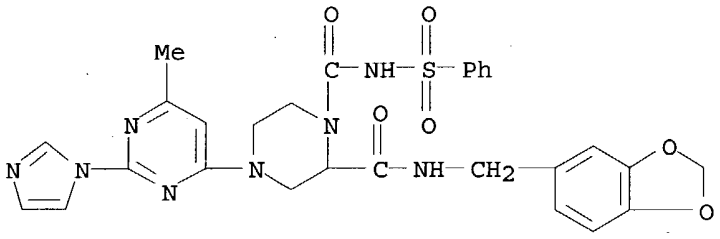
RN 212639-06-0 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[6-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



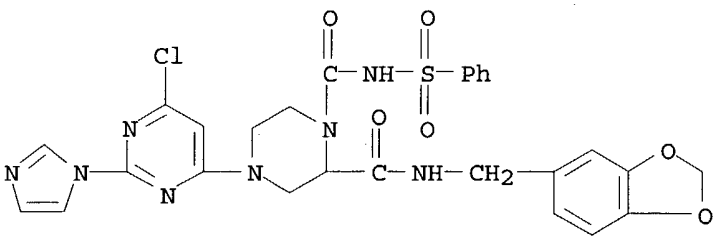
RN 212639-15-1 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-N1-cyclohexyl-4-[6-(1H-imidazol-1-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



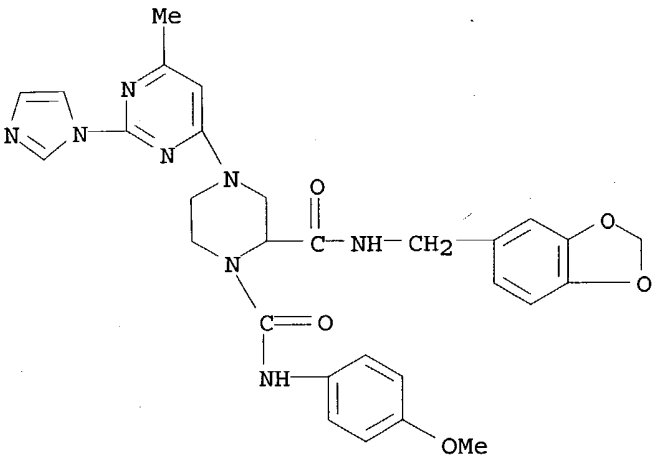
RN 212639-33-3 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



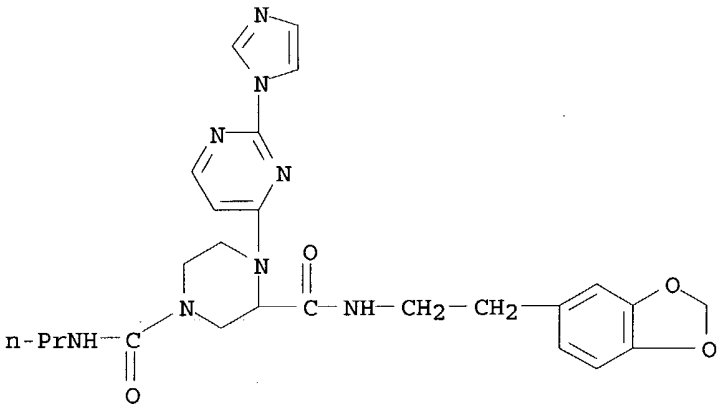
RN 212639-35-5 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



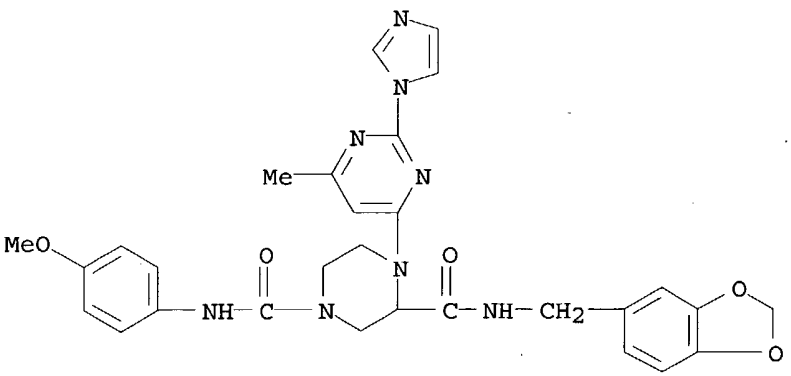
RN 212639-49-1 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 212645-12-0 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



RN 212646-48-5 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

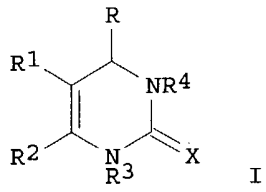
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:560064 CAPLUS
DOCUMENT NUMBER: 135:137519
TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α1c antagonists
INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corp., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 340,611, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

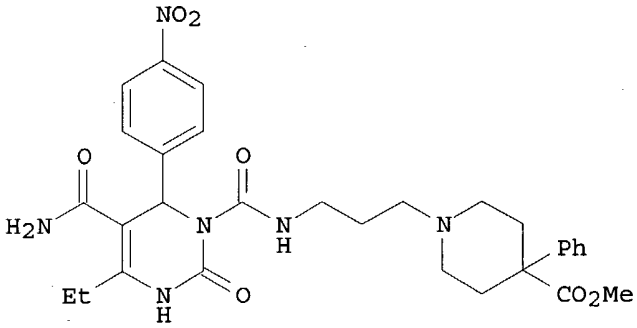
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6268369	B1	20010731	US 1997-836628	19970516
WO 9614846	A1	19960523	WO 1995-US15025	19951116
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6248747	B1	20010619	US 1999-291553	19990414
US 6727257	B1	20040427	US 2000-730458	20001205

PRIORITY APPLN. INFO.:
US 1994-340611 B2 19941116
WO 1995-US15025 W 19951116
US 1997-836628 A1 19970516
US 1997-978682 A3 19971126

OTHER SOURCE(S): MARPAT 135:137519
GI



I



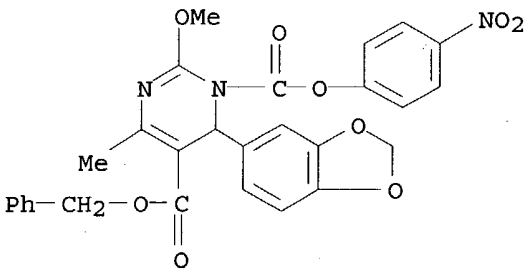
II

AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g, (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] and analogs thereof were prepared Over 60 synthetic examples were provided. Thus 1,6-dihydro-5-(cyanoethoxycarbonyl)-4-ethyl-6-(4-nitrophenyl)-2-methoxypyrimidine (prepared in 3 steps) was treated with 4-nitrophenylchloroformate (acylation at N1) followed by the corresponding substituted piperidine to give the N1 carboxamide intermediate. The cyanoethoxycarbonyl function was saponified and converted to the 5-carboxamido derivative II. Thus, title compound II had pKi of 9.74 for binding at human α1c receptors in vitro. Treatment of benign prostatic hyperplasia is a claimed use of the invention.

IT **179482-02-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α1c antagonists)

RN 179482-02-1 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 6-(1,3-benzodioxol-5-yl)-2-methoxy-4-methyl-, 1-(4-nitrophenyl) 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

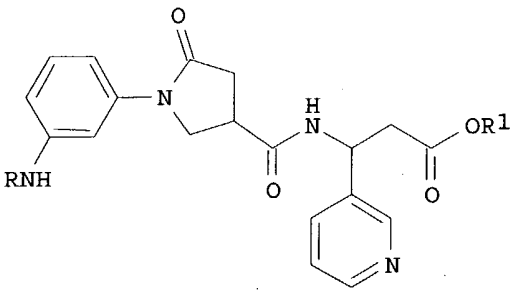
L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:453053 CAPLUS

DOCUMENT NUMBER: 135:61230
TITLE: 1-(Aminophenyl)-2-pyrrolidones as integrin inhibitors
INVENTOR(S): Dominguez, Celia; Chen, Guoqing; Xi, Ning; Xu, Shimin; Han, Nianhe; Liu, Qingyian; Huang, Qi; Siegmund, Aaron; Handley, Michael; Liu, Longbin; Kiselyov, Alexander S.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044230	A1	20010621	WO 2000-US33515	20001211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002019402	A1	20020214	US 2000-732546	20001208
CA 2393310	AA	20010611	CA 2000-2393310	20001211
AU 2001020835	A5	20010625	AU 2001-20835	20001211
EP 1240158	A1	20020918	EP 2000-984165	20001211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535036	T2	20031125	JP 2001-544720	20001211

PRIORITY APPLN. INFO.:	US 1999-170824P	P	19991214
	US 2000-732546	A	20001208
	WO 2000-US33515	W	20001211

OTHER SOURCE(S): MARPAT 135:61230
GI

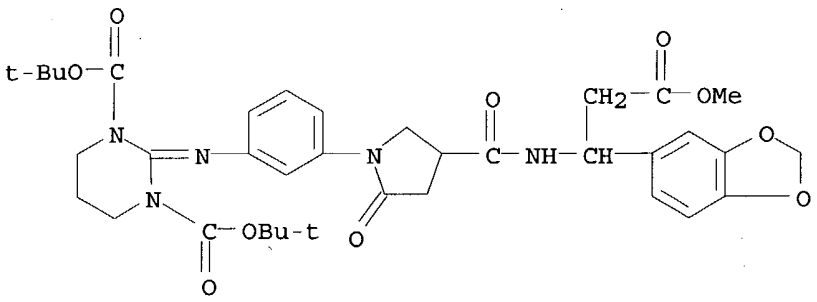


I

AB Title compds. are effective in the prophylaxis and treatment of diseases or conditions mediated by integrin receptors, such as αvβ3, αvβ5, αvβ6, α5β1. Thus, the pyrrolidinone I [R = PhNHCO, R1 = H] was prepared by treating I [R = H, R1 = Et] with PhNCO and ester hydrolysis.

IT **345298-02-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)
RN 345298-02-4 CAPLUS
CN 1,3(2H,4H)-Pyrimidinedicarboxylic acid, 2-[[3-[4-[[[1-(1,3-benzodioxol-5-yl)-3-methoxy-3-oxopropyl]amino]carbonyl]-2-oxo-1-pyrrolidinyl]phenyl]imino]dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

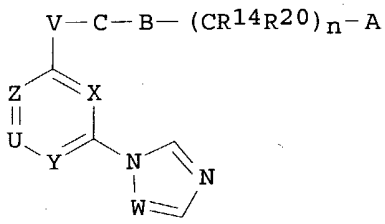
L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:604917 CAPLUS
DOCUMENT NUMBER: 129:231019
TITLE: Preparation of N-heterocyclic derivatives as NOS inhibitors
INVENTOR(S): Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Hichael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun; et al.
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.; et al.
SOURCE: PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837079	A1	19980827	WO 1998-US3176	19980219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2281545	AA	19980827	CA 1998-2281545	19980219
AU 9861749	A1	19980909	AU 1998-61749	19980219
AU 732969	B2	20010503		
EP 968206	A1	20000105	EP 1998-906555	19980219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
GB 2338957	A1	20000112	GB 1999-19686	19980219

NZ 337861	A	20010223	NZ 1998-337861		19980219
NO 9903996	A	19991018	NO 1999-3996		19990819
HK 1025952	A1	20020412	HK 2000-104236		20000711
US 2003027794	A1	20030206	US 2002-121758		20020412
US 2003060452	A1	20030327	US 2002-121212		20020412
US 2003069210	A1	20030410	US 2002-122072		20020412
PRIORITY APPLN. INFO.:			US 1997-808975	A2	19970219
			US 1998-25124	A	19980217
			WO 1998-US3176	W	19980219
			US 1999-383813	A3	19990826

OTHER SOURCE(S): MARPAT 129:231019

GI



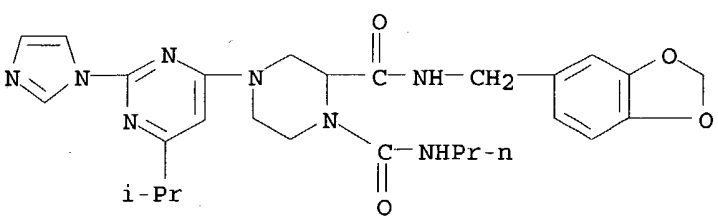
I

AB N-Heterocyclic derivs. I [U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or R1R2N = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); N-heterocyclyl; C = (CHR12)q(CHR13)r (q, r = 0 or 1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3] were prepared as inhibitors of nitric oxide synthase. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepared by reaction of 1-(3-aminophenyl)imidazole, 7-chloro-3-oxoheptanoic acid Et ester, and piperonylamine.

IT **212639-01-5P 212639-02-6P 212639-04-8P**
212639-06-0P 212639-15-1P 212639-33-3P
212639-35-5P 212639-49-1P 212645-12-0P
212646-48-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclic derivs. as NOS inhibitors)

RN 212639-01-5 CAPLUS

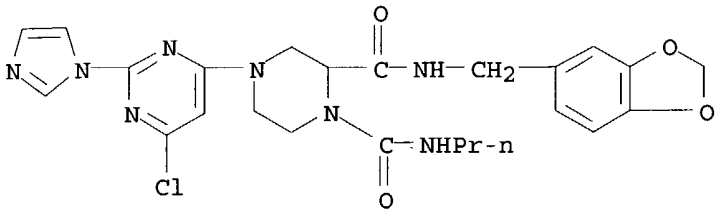
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-(1-methylethyl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



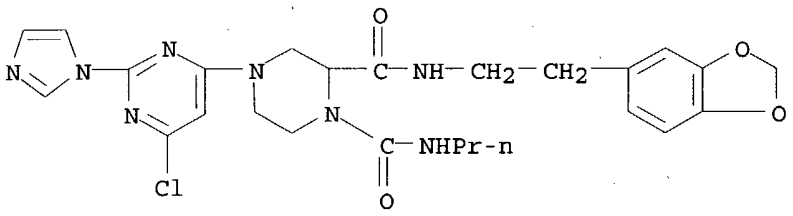
RN 212639-02-6 CAPLUS

CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[6-chloro-2-

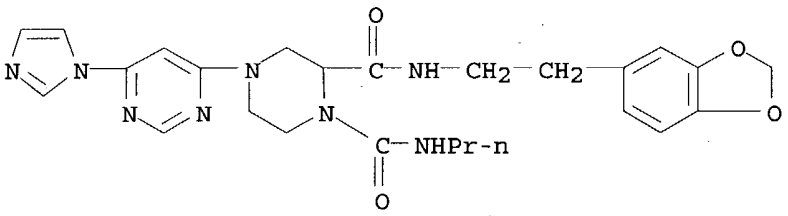
(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



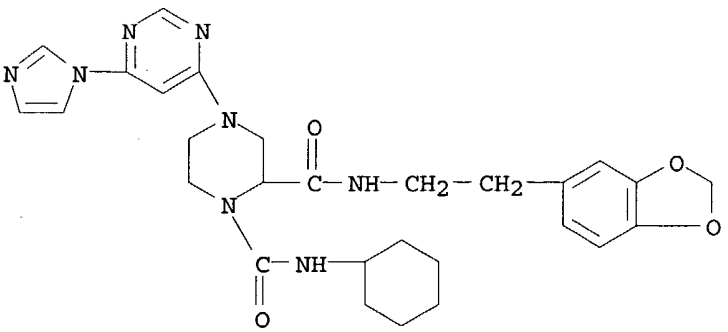
RN 212639-04-8 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



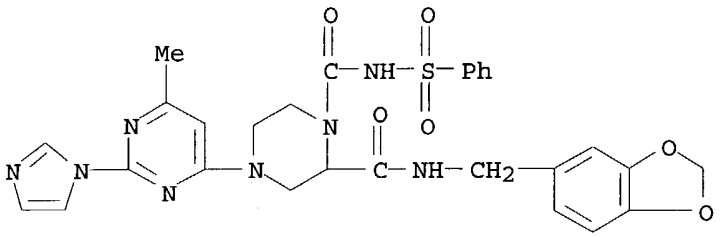
RN 212639-06-0 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[6-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



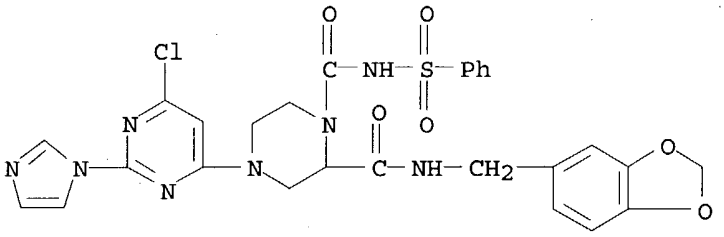
RN 212639-15-1 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-N1-cyclohexyl-4-[6-(1H-imidazol-1-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



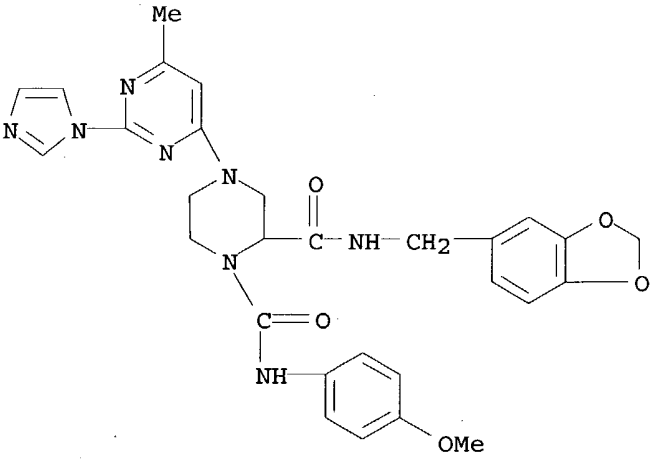
RN 212639-33-3 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



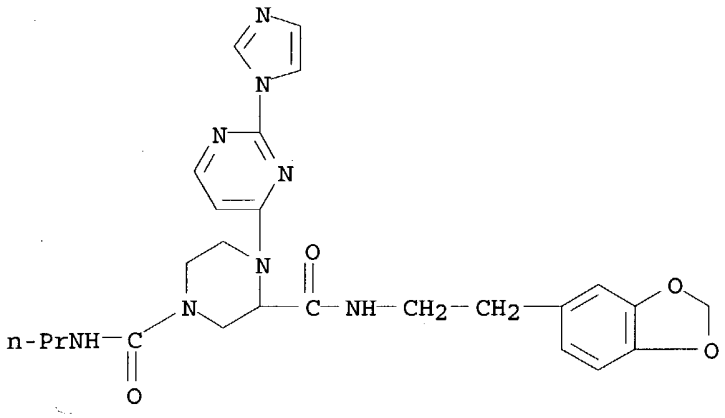
RN 212639-35-5 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[6-chloro-2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



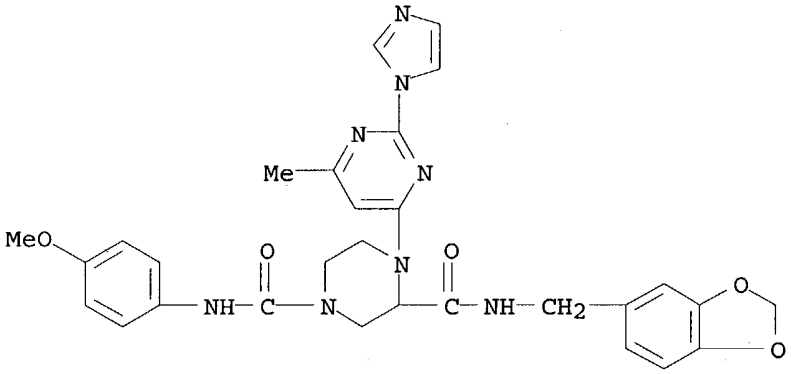
RN 212639-49-1 CAPLUS
CN 1,2-Piperazinedicarboxamide, N2-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 212645-12-0 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[2-(1H-imidazol-1-yl)-4-pyrimidinyl]-N1-propyl- (9CI) (CA INDEX NAME)



RN 212646-48-5 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-(1,3-benzodioxol-5-ylmethyl)-4-[2-(1H-imidazol-1-yl)-6-methyl-4-pyrimidinyl]-N1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:473181 CAPLUS
DOCUMENT NUMBER: 125:142759
TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α1c antagonists
INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9614846	A1	19960523	WO 1995-US15025	19951116
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,				

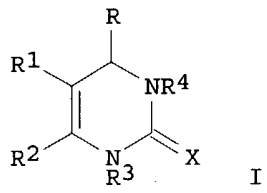
MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2205384	AA	19960523	CA 1995-2205384		19951116
AU 9642398	A1	19960606	AU 1996-42398		19951116
AU 714640	B2	20000106			
EP 790826	A1	19970827	EP 1995-940748		19951116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1173132	A	19980211	CN 1995-197348		19951116
JP 10510247	T2	19981006	JP 1996-516354		19951116
JP 3200070	B2	20010820			
BR 9509700	A	19981103	BR 1995-9700		19951116
HU 77941	A2	19981228	HU 1998-1222		19951116
CA 2237774	AA	19970522	CA 1996-2237774		19961115
WO 9717969	A1	19970522	WO 1996-US18573		19961115

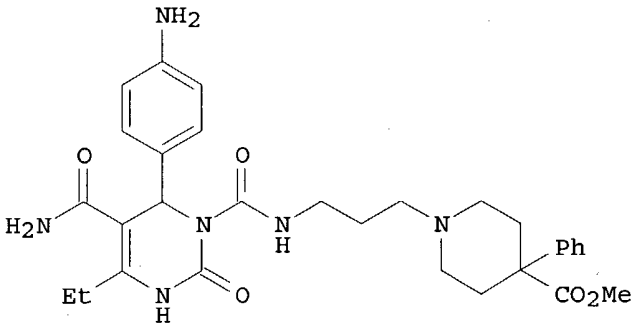
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9710558	A1	19970605	AU 1997-10558		19961115
AU 714287	B2	19991223			
ZA 9609612	A	19970721	ZA 1996-9612		19961115
EP 866708	A1	19980930	EP 1996-941406		19961115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2000500470	T2	20000118	JP 1997-519157		19961115
NO 9702236	A	19970701	NO 1997-2236		19970515
FI 9702087	A	19970714	FI 1997-2087		19970515
US 6268369	B1	20010731	US 1997-836628		19970516
US 5942517	A	19990824	US 1997-978682		19971126
US 6228861	B1	20010508	US 1998-68782		19981110
US 6248747	B1	20010619	US 1999-291553		19990414
US 6727257	B1	20040427	US 2000-730458		20001205
PRIORITY APPLN. INFO.:			US 1994-340611	A	19941116
			WO 1995-US15025	W	19951116
			US 1996-648770	A	19960516
			WO 1996-US18573	W	19961115
			US 1997-836628	A1	19970516
			US 1997-978682	A3	19971126

OTHER SOURCE(S): MARPAT 125:142759
GI



I



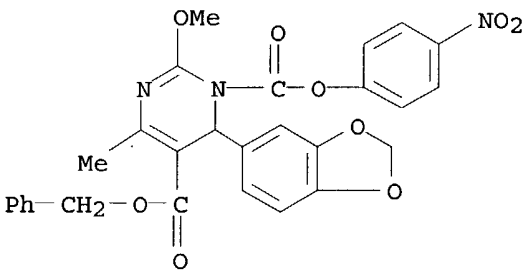
II

AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, ,CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g, (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] were prepared Thus, title compound II had pKi of 9.74 for binding at human α1c receptors in vitro.

IT **179482-02-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α1c antagonists)

RN 179482-02-1 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 6-(1,3-benzodioxol-5-yl)-2-methoxy-4-methyl-, 1-(4-nitrophenyl) 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:139991 CAPLUS

DOCUMENT NUMBER: 86:139991

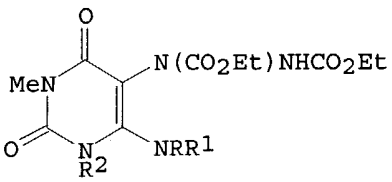
TITLE: Syntheses of isoalloxazines, alloxazines, toxoflavines, and fervenulins by oxidative cyclization of the Michael-type adducts from substituted 6-aminouracils and azo-compounds

AUTHOR(S): Yoneda, Fumio; Sakuma, Yoshiharu; Nagamatsu, Tomohisa; Mizumoto, Shunjiro

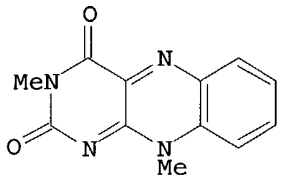
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan

SOURCE: Journal of the Chemical Society, Perkin Transactions

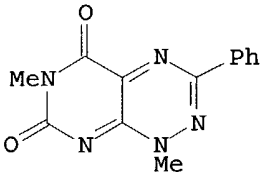
1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (22), 2398-402
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



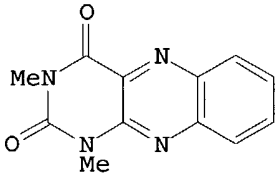
I



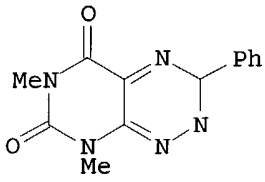
II



III



IV



V

AB Treatment of Michael adducts from 6-aminouracil derivs. and EtO2CN:NCO2Et with Pb(OAc)4 or PhNO2 gave the title products. E.g., I (R = Ph, N:CHPh)(R1 = Me, R2 = H; R1 = H, R2 = Me) gave 44-84% II-V, resp. The reactions occurred by oxidative rearrangement followed by thermal or photochem. cyclization.

IT **62583-97-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidative cyclization of)

RN 62583-97-5 CAPLUS

CN 1,2-Hydrazinedicarboxylic acid, 1-[4-[(1,3-benzodioxol-5-ylmethylene)methylhydrazino]-1,2,3,6-tetrahydro-1-methyl-2,6-dioxo-5-pyrimidinyl]-, diethyl ester (9CI) (CA INDEX NAME)